02 14840 FR BAYER PATENTS/LEGAL

(Amended) A compound having the formula

$$(T)_{i}R^{1}$$
 $(Q)_{q}R^{2}$
 $(Q)_{q}R^{3}$
 $(Q)_{q}R^{4}$
 $(C_{ri}H_{2ri-p})$

wherein

R is

substituted aryl of 6 - 14 carbons wherein the substituent is T; or

203 812 5492

heteroaryl of 3 - 10 carbons and containing 1 - 3 heteroatoms selected from the group consisting of N, O, and S, with the proviso that R is other than benzofuran or benzothiophene;

R1 is

alkyl of 1 - 10 carbons;

cycloalkyl of 3 - 12 carbons and containing 1 - 3 rings;

heterocycloalkyl of 4 - 7 carbons and containing 1 - 3 rings and 1 - 3 heteroatoms selected from the group consisting of N, O, and S;

alkenyl of 2 - 10 carbons;

cycloalkenyl of 5 - 12 carbons and containing 1 - 3 rings; or

alkynyl of 3 - 10 carbons;

R², R³, and R⁴ are independently selected from the group consisting of

H;

alkyl of 1 - 10 carbons;

cycloalkyl of 3 - 12 carbons;

alkenyl of 2 - 10 carbons;

cycloalkenyl of 5 - 12 carbons;

```
substituted aryl of 6 - 13 carbons wherein the substituent is Q;
        heteroaryl of 3 - 9 carbons and containing 1 - 3 heteroatoms selected from
                the group consisting of N, O, and S;
        CO<sub>2</sub>R<sup>5</sup>; wherein
                 R<sup>5</sup> is alkyl of 1 - 4 carbons, haloalkyl of 1 - 4 carbons, cycloalkyl
                         of 3 - 6 carbons, or halocycloalkyl of 3 - 6 carbons;
        halogen; and
        =O, representing two of the groups R<sup>2</sup>, R<sup>3</sup>, and R<sup>4</sup>;
X is O [or S(O)_y; wherein
        y is 0, 1, or 2];
n is 2[, 3, 4, or 5];
p is the sum of non-H substituents R^2, R^3, and R^4;
T is a substituent selected from the group consisting of
         alkyl of 1 - 4 carbons;
         alkoxy of 1 - 4 carbons;
         aryl of 6 - 10 carbons;
         CO<sub>2</sub>H;
         CO_2R^5;
                  alkenyl of 2 - 4 carbons;
                  alkynyl of 2 - 4 carbons;
                  C(O)C_6H_5;
                  C(O)N(R^6)(R^7); wherein
                                    R<sup>6</sup> is H or alkyl of 1 - 5 carbons; and
                                    R<sup>7</sup> is H or alkyl of 1 - 5 carbons;
                   S(O)<sub>v</sub>R<sup>8</sup>; wherein
                                    y' is 1 or 2; and
```

R⁸ is alkyl fl-5 carbons;

SO₂F;

CHO;

OH;

NO₂;

CN;

halogen;

OCF₃;

N-oxide;

O-C(R⁹)₂-O, the oxygens being connected to adjacent positions on R; and wherein

R⁹ is H, halogen, or alkyl of 1 - 4 carbons;

C(O)NHC(O), the carbons being connected to adjacent positions on R; and

C(O)C₆H₄, the carbonyl carbon and the ring carbon ortho to the carbonyl being connected to adjacent positions on R;

t is 1 - 5;

provided that when substituent moiety T is alkyl of 1 - 4 carbons, alkoxy of 1 - 4 carbons, aryl of 6 - 10 carbons, CO_2R^5 , alkenyl of 2 - 4 carbons, alkynyl of 2 - 4 carbons, $C(O)C_6H_5$, $C(O)N(R^6)(R^7)$, $S(O)_yR^8$, $O-C(R^9)_2-O$, or $C(O)C_6H_4$, then T optionally may bear secondary substituents selected from the group consisting of alkyl of 1 - 4 carbons; alkoxy of 1 - 4 carbons; CO_2R^5 ; CO_2H ; $C(O)N(R^6)(R^7)$; CHO; OH; NO_2 ; CN; halogen; $S(O)yR^8$; or =0, the number of said secondary substituents being 1 or 2

G is a substituent selected from the group consisting of halogen; OH; OR5; =O representing two substituents G; alkyl of 1 - 4 carbons; alkenyl of 1 - 4 carbons; cycloalkyl of 3 - 7 carbons; heterocycloalkyl of 3 - 5 carbons and 1 - 3 heteroatoms selected from the group consisting of N, O, and S; cycloalkenyl of 5 - 7 carbons; heterocycloalkenyl of 4 - 6 carbons and 1 - 3 heteroatoms selected from the group consisting of N, O, and S; CO₂R⁵; $C(0)N(R^6)(R^7);$ aryl of 6 - 10 carbons; heteroaryl of 3 - 9 carbons and 1 - 3 heteroatoms selected from the group consisting of N, O, and S; NO₂; CN; $S(O)_yR^8$; SO₃R⁸; and $SO_2N(R^6)(R^7);$ g is 0 - 4, with the exception of halogen, which may be employed up to the perhalo level;

provided that when substituent G is alkyl of 1 - 4 carbons, alkenyl of 1 - 4

carbons, cycloalkyl of 3 - 7 carbons, heterocycloalkyl of 3 - 5 carbons,

cycloalkenyl of 5 - 7 carbons, or heterocycloalkenyl of 4 - 6 carbons, then G optionally may bear secondary substituents of halogen up to the perhalo level; and when substituent G is aryl or heteroaryl, then G optionally may bear secondary substituents independently selected from the group consisting of alkyl of 1 - 4 carbons and halogen, the number of said secondary substituents being up to 3 for alkyl moieties, and up to the perhalo level for halogen;

Q is a substituent selected from the group consisting of

```
alkyl of 1 - 4 carbons;
       haloalkyl of 1 - 4 carbons;
       cycloalkyl of 3 - 8 carbons;
       alkoxy of 1 - 8 carbons;
       alkenyl of 2 - 5 carbons;
        cycloalkenyl of 5 - 8 carbons;
aryl of 6 - 10 carbons;
heteroaryl of 3 - 9 carbons and containing 1 - 3 heteroatoms selected from
        the group consisting of N, O, and S;
        CO_2R^5;
=O, representing two substituents Q;
        OH;
        halogen;
        N(R^6)(R^7);
S(O)_{v}R^{8};
SO<sub>3</sub>R<sup>8</sup>; and
```

 $SO_2N(R^6)(R^7);$

q is 0 - 4

provided that when substituent Q is aryl or heteroaryl, then Q optionally may bear secondary substituents independently selected from the group consisting of alkyl of 1 - 4 carbons and halogen, the number of said secondary substituents being up to 3 for alkyl moieties and up to the perhalo level for halogen; and

with the further provisos that:

- a) two of $(Q)_q R^1$, $(Q)_q R^2$, $(Q)_q R^3$, and $(Q)_q R^4$ may be joined, and taken together with the atom(s) to which they are attached, form a spiro or nonspiro nonaromatic ring of 3 8 members containing 0 2 heteroatoms selected from the group consisting of N, O, and S;
- b) [when n = 2 or 3,] at least one of \mathbb{R}^2 , \mathbb{R}^3 , and \mathbb{R}^4 is other than H;
- (e) [when n = 2, and X = 0,] if t = 1, then T is selected from the list of substituents T above excepting alkyl, and the 4-position of the 1,3-oxazolidine ring must bear a substituent;
- (d) when n = 3 and X = O, if t is equal to or greater than 1, then at least one T is selected from the list of substituents T above, excepting alkyl and alkoxy;
- [e)] d) [when n = 2 or 3 and X = O or S, then] the sum of non-hydrogen atoms in R^1 , R^2 , R^3 , and R^4 is at least 5;
- [f] e) when [n = 2, X = 0,] the 4-position of the 1,3-oxazolidine ring bears a carbonyl group, and R bears halogen at its 2- and 4- positions, then the 5-position of R bears H;
- [g)] h when [n = 2 and X = 0,] the 4-position of the 1,3-oxazolidine ring may bear a carbonyl only if the 5-position of said ring bears at least one non-H substituent;

- [h) when n = 2, $X = S(O)_y$, the 4-position of the 1,3-thiazolidine ring bears a carbonyl group, R^1 is a substituted methyl group, and G is a phenyl group, then said phenyl group bears a secondary substituent;
- when n = 4, X = S, and G is CO_2R^5 , then R^5 contains at least two carbons;]

and pharmaceutically acceptable salts thereof.

(Amended) A compound having the formula

$$(T)_{t}R$$
 N
 $R^{1}(G)_{g}$
 $(Q)_{q}R^{2}$
 $(Q)_{q}R^{3}$
 $(Q)_{q}R^{4}$
 $(Q)_{q}R^{4}$

wherein

R is

substituted phenyl wherein the substituent is T; or substituted pyridyl wherein the substituent is T;

R1 is

alkyl of 1 - 10 carbons; cycloalkyl of 3 - 12 carbons and containing 1 - 3 rings; alkenyl of 2 - 10 carbons; cycloalkenyl of 5 - 12 carbons and containing 1 - 3 rings; or alkynyl of 3 - 10 carbons;

 \mathbb{R}^2 , \mathbb{R}^3 , and \mathbb{R}^4 are independently selected from the group consisting of

H;
alkyl of 1 - 10 carbons;
cycloalkyl of 3 - 12 carbons;
alkenyl of 2 - 10 carbons;
cycloalkenyl of 5 - 12 carbons; and

```
=O, representing two of the groups R<sup>2</sup>, R<sup>3</sup>, and R<sup>4</sup>;
X is O [or S(O)_y; wherein
         y is 0, 1, or 2];
n is 2 [or 3];
p is the sum of non-H substituents R<sup>2</sup>, R<sup>3</sup>, and R<sup>4</sup>;
T is a substituent selected from the group consisting of
         alkyl of 1 - 4 carbons;
         alkoxy of 1 - 4 carbons;
                  alkenyl of 2 - 4 carbons;
                  alkynyl of 2 - 4 carbons;
                  NO<sub>2</sub>;
                   CN; and
                   halogen;
 t is 1 - 5;
          provided that when substituent moiety T is alkyl of 1 - 4 carbons, alkoxy
          of 1 - 4 carbons, alkenyl of 2 - 4 carbons, or alkynyl of 2 - 4 carbons, then
           T optionally may bear secondary substituents selected from the group
           consisting of
                    alkyl of 1 - 4 carbons;
                    alkoxy of 1 - 4 carbons;
                    CO<sub>2</sub>R<sup>5</sup>; wherein
                            R<sup>5</sup> is alkyl of 1 - 4 carbons, haloalkyl of 1 - 4 carbons,
                                     cycloalkyl of 3 - 6 carbons, or halocycloalkyl of 3 -
                                      6 carbons;
                    CO<sub>2</sub>H;
                    C(O)N(R<sup>6</sup>)(R<sup>7</sup>); wherein
                                      R<sup>6</sup> is H or alkyl of 1 - 5 carbons; and
```

R⁷ is H or alkyl of 1 - 5 carbons;

CHO;

OH;

NO₂;

CN;

halogen;

S(O)yR⁸; wherein

R⁸ is alkyl of 1 - 5 carbons; and

=O, representing two secondary substituents;

the number of said secondary substituents being 1 or 2 with the exception of halogen, which may be employed up to the perhalo level;

G is a substituent selected from the group consisting of

halogen;

OR5;

alkyl of 1 - 4 carbons;

alkenyl of 1 - 4 carbons;

cycloalkyl of 3 - 7 carbons;

cycloalkenyl of 5 - 7 carbons;

aryl of 6 - 10 carbons; and

CN;

g is 0 - 4, with the exception of halogen, which may be employed up to the perhalo level;

provided that when substituent G is alkyl of 1 - 4 carbons, alkenyl of 1 - 4 carbons, cycloalkyl of 3 - 7 carbons, or cycloalkenyl of 5 - 7 carbons, then G optionally may bear secondary substituents of halogen up to the perhalo level; and when substituent G is aryl, then G optionally may bear secondary substituents independently selected from the group consisting of alkyl of 1 - 4 carbons and halogen, the number of said secondary

substituents being up to 3 for alkyl moieties, and up to the perhalo level for halogen;

Q is a substituent selected from the group consisting of

alkyl of 1 - 4 carbons;

haloalkyl of 1 - 4 carbons;

cycloalkyl of 3 - 8 carbons;

alkoxy of 1 - 8 carbons;

alkenyl of 2 - 5 carbons;

cycloalkenyl of 5 - 8 carbons;

 CO_2R^5 ;

=O, representing two substituents Q;

OH;

halogen;

 $N(R^6)(R^7)$; and

 $S(O)_yR^8$;

q is 0 - 4;

and

with the further provisos that:

a) two of $(Q)_q R^1$, $(Q)_q R^2$, $(Q)_q R^3$, and $(Q)_q R^4$ may be joined, and taken together with the atom(s) to which they are attached, form a spiro or nonspiro nonaromatic ring of 3 - 8 members containing 0 - 2 heteroatoms selected from the group consisting of N, O, and S;

b) [when n = 2 or 3,] at least one of R^2 , R^3 , and R^4 is other than H;

- c) [when n = 2, and X = 0,] if t = 1, then T is selected from the list of substituents T above excepting alkyl, and the 4-position of the 1,3oxazolidine ring must bear a substituent;
- (d) when n = 3 and X = O, if t is equal to or greater than 1, then at least one T is selected from the list of substituents T above, excepting alkyl and alkoxy;
- [e)] d) [when n = 2 or 3 and X = O or S, then] the sum of non-hydrogen atoms in R^1 , R^2 , R^3 , and R^4 is at least 5;
- [f)] e) when [n = 2, X = 0,] the 4-position of the 1,3-oxazolidine ring bears a carbonyl group, and R bears halogen at its 2- and 4- positions, then the 5-position of R bears H;
- [g)] h when [n = 2 and X = 0,] the 4-position of the 1,3-oxazolidine ring may bear a carbonyl only if the 5-position of said ring bears at least one non-H substituent; [and
- when n = 2, $X = S(O)_y$, the 4-position of the 1,3-thiazolidine ring bears a carbonyl group, R^1 is a substituted methyl group, and G is a phenyl group, then said phenyl group bears a secondary substituent;]

and pharmaceutically acceptable salts thereof.

3. (Amended) A compound having the formula

$$(T)_{t}R$$
 N
 $R^{1}(G)_{g}$
 $(Q)_{q}R^{2}$
 $(Q)_{q}R^{3}$
 $(Q)_{q}R^{4}$

wherein

R is

substituted phenyl wherein the substituent is T; or

```
substituted pyridyl wherein the substituent is T;
```

 R^1 is

alkyl of 1 - 10 carbons;

cycloalkyl of 3 - 12 carbons and containing 1 - 3 rings;

alkenyl of 2 - 10 carbons; or

cycloalkenyl of 5 - 12 carbons and containing 1 - 3 rings;

R², R³, and R⁴ are independently selected from the group consisting of

H;

alkyl of 1 - 10 carbons;

cycloalkyl of 3 - 12 carbons;

alkenyl of 2 - 10 carbons; and

cycloalkenyl of 5 - 12 carbons;

X is O [or S(O), ; wherein

y is 0, 1, or 2];

n is 2 [or 3];

p is the sum of non-H substituents R^2 , R^3 , and R^4 ;

T is a substituent selected from the group consisting of

alkyl of 1 - 4 carbons;

alkenyl of 2 - 4 carbons;

NO₂;

CN; and

halogen;

t is 1 - 5;

provided that when substituent moiety T is alkyl of 1 - 4 carbons, or alkenyl of 2 - 4 carbons, then T optionally may bear secondary substituents selected from the group consisting of

alkyl of 1 - 4 carbons;

alkoxy of 1 - 4 carbons;

CO₂R⁵; wherein

R⁵ is alkyl of 1 - 4 carbons, haloalkyl of 1 - 4 carbons, cycloalkyl of 3 - 6 carbons, or halocycloalkyl of 3 - 6 carbons;

CO₂H;

 $C(O)N(R^6)(R^7)$; wherein

R⁶ is H or alkyl of 1 - 5 carbons; and

R⁷ is H or alkyl of 1 - 5 carbons;

CHO;

OH;

NO₂;

CN;

halogen;

S(O)yR8; wherein

R⁸ is alkyl of 1 - 5 carbons; and

=0;

the number of said secondary substituents being 1 or 2 with the exception of halogen, which may be employed up to the perhalo level;

G is a substituent selected from the group consisting of

halogen;

alkyl of 1 - 4 carbons;

alkenyl of 1 - 4 carbons;

cycloalkyl of 3 - 7 carbons;

cycloalkenyl of 5 - 7 carbons; and

aryl of 6 - 10 carbons;

g is 0 - 4, with the exception of halogen, which may be employed up to the perhalo level;

provided that when substituent G is alkyl of 1 - 4 carbons, alkenyl of 1 - 4 carbons, cycloalkyl of 3 - 7 carbons, or cycloalkenyl of 5 - 7 carbons, then

G optionally may bear secondary substituents of halogen up to the perhalo level; and when substituent G is aryl, then G optionally may bear secondary substituents independently selected from the group consisting of alkyl of 1 - 4 carbons and halogen, the number of said secondary substituents being up to 3 for alkyl moieties, and up to the perhalo level for halogen;

Q is a substituent selected from the group consisting of

alkyl of 1 - 4 carbons;

haloalkyl of 1 - 4 carbons;

cycloalkyl of 3 - 8 carbons;

alkoxy of 1 - 8 carbons;

alkenyl of 2 - 5 carbons;

cycloalkenyl of 5 - 8 carbons; and

halogen;

q is 0 - 4;

and

with the further provisos that:

- a) two of $(Q)_q R^1$, $(Q)_q R^2$, $(Q)_q R^3$, and $(Q)_q R^4$ may be joined, and taken together with the atom(s) to which they are attached, form a spiro or nonspiro nonaromatic ring of 3 8 members containing 0 2 heteroatoms selected from the group consisting of N, O, and S;
- b) [when n = 2 or 3,] at least one of R^2 , R^3 , and R^4 is other than H;

c) [when n = 2, and X = 0,] if t = 1, then T is selected from the list of substituents T above excepting alkyl, and the 4-position of the 1,3-oxazolidine ring must bear a substituent;

- [d) when n = 3 and X = O, if t is equal to or greater than 1, then at least one T is selected from the list of substituents T above, excepting alkyl;]
- [e)] d) [when n = 2 or 3 and X = O or S, then] the sum of non-hydrogen atoms in R^1 , R^2 , R^3 , and R^4 is at least 5;

and pharmaceutically acceptable salts thereof.

- 4. canceled.
- 5 canceled.
- 7. (Amended) A pharmaceutical composition comprising a compound of claim 1,
 2, 3[, 4, 5] or 6, and a pharmaceutically acceptable carrier.
- 8. (Amended) A method of treating a mammal by administering to said mammal an effective amount of a compound for:
- A1) enhancement of bone formation in bone weakening diseases for the treatment or prevention of osteopenia or osteoporosis;
- A2) enhancement of fracture healing;
- B1) use as a female contragestive agent;
- B2) prevention of endometrial implantation;
- B3) induction of labor;
- B4) treatment of luteal deficiency;
- B5) enhanced recognition and maintanence of pregnancy;
- B6) counteracting of preeclampsia, eclampsia of pregnancy, and preterm labor;
- B7) treatment of infertility, including promotion of spermatogenesis, induction of the acrosome reaction, maturation of oocytes, or in vitro fertilization of oocytes;

- C1) treatment of dysmenorrhea;
- C2) treatment f dysfunctional uterine bleeding;
- C3) treatment of ovarian hyperandrogynism;
- C4) treatment of ovarian hyperaldosteronism;
- C5) alleviation of premenstral syndrome and of premenstral tension;
- C6) alleviation of perimenstrual behavior disorders;
- C7) treatment of climeracteric disturbance, including. menopause transition, mood changes, sleep disturbance, and vaginal dryness;
- C8) enhancement of female sexual receptivity and male sexual receptivity;
- C9) treatment of post menopausal urinary incontinence;
- C10) improvement of sensory and motor functions;
- C11) improvement of short term memory;
- C12) alleviation of postpartum depression;
- C13) treatment of genital atrophy;
- C14) prevention of postsurgical adhesion formation;
- C15) regulation of uterine immune function;
- C16) prevention of myocardial infarction;
- DI) hormone replacement;
- E1) treatment of cancers, including breast cancer, uterine cancer, ovarian cancer, and endometrial cancer;
- E2) treatment of endometriosis;

- E3) treatment of uterine fibroids;
- F1) treatment of hirsutism;
- F2) inhibition of hair growth;
- G1) activity as a male contraceptive;
- G2) activity as an abortifacient; and
- H1) promotion of mylin repair;

wherein said compound has the general formula

$$(T)_{k}R$$
 N
 $R^{1}(G)_{g}$
 $(Q)_{q}R^{2}$
 $(Q)_{q}R^{3}$
 $(Q)_{q}R^{4}$
 $(C_{n}H_{2n-p-2s})$

wherein

R is

substituted aryl of 6 - 14 carbons wherein the substituent is T; or

heteroaryl of 3 - 10 carbons and containing 1 - 3 heteroatoms selected from the group consisting of N, O, and S, with the proviso that R is other than benzofuran or benzothiophene;

R^l is

alkyl of 1 - 10 carbons;

cycloalkyl of 3 - 12 carbons and containing 1 - 3 rings;

heterocycloalkyl of 4 - 7 carbons and containing 1 - 3 rings and 1 - 3
heteroatoms selected from the group consisting of N, O, and S;
substituted aryl of 6 - 10 carbons wherein the substituent is G;

```
heteroaryl of 3 - 9 carbons and containing 1 - 3 rings and 1 - 3 heteroatoms
                selected from the group consisting of N, O, and S;
        alkenyl of 2 - 10 carbons;
        cycloalkenyl of 5 - 12 carbons and containing 1 - 3 rings; or
        alkynyl of 3 - 10 carbons;
R<sup>2</sup>, R<sup>3</sup>, and R<sup>4</sup> are independently selected from the group consisting of
                 H;
                 alkyl of 1 - 10 carbons;
                 cycloalkyl of 3 - 12 carbons;
                 alkenyl of 2 - 10 carbons;
                 cycloalkenyl of 5 - 12 carbons;
                 substituted aryl of 6 - 13 carbons wherein the substituent is Q;
                 heteroaryl of 3 - 9 carbons and containing 1 - 3 heteroatoms
                 selected from the group consisting of N, O, and S;
                 CO<sub>2</sub>R<sup>5</sup>; wherein
                 R<sup>5</sup> is alkyl of 1 - 4 carbons, haloalkyl of 1 - 4 carbons, cycloalkyl
                          of 3 - 6 carbons, or halocycloalkyl of 3 - 6 carbons;
                  halogen; and
                  =O, representing two of the groups R^2, R^3, and R^4;
 X is O [or S(O), ; wherein
         y is 0, 1, or 2];
 n is 2[, 3, 4, \text{ or } 5];
 p is the sum of non-H substituents R<sup>2</sup>, R<sup>3</sup>, and R<sup>4</sup>;
 s represents the number of double bonds in the ring, and is 0, 1, or 2;
 T is a substituent selected from the group consisting of
          alkyl of 1 - 4 carbons;
          alkoxy of 1 - 4 carbons;
          aryl of 6 - 10 carbons;
          CO<sub>2</sub>H;
           CO<sub>2</sub>R<sup>5</sup>;
                   alkenyl of 2 - 4 carbons;
```

alkynyl of 2 - 4 carbons; $C(O)C_6H_5$; $C(0)N(R^6)(R^7)$; wherein R6 is H or alkyl of 1 - 5 carbons; and R⁷ is H or alkyl of 1 - 5 carbons; S(O)vR8; wherein y' is 1 or 2; and R⁸ is alkyl of 1 - 5 carbons; SO₂F; CHO; OH; NO₂; CN; halogen; OCF₃; N-oxide; O-C(R⁹)₂-O, the oxygens being connected to adjacent positions on R; and

wherein

R⁹ is H, halogen, or alkyl of 1 - 4 carbons;

C(O)NHC(O), the carbons being connected to adjacent positions on R;

C(O)C₆H₄, the carbonyl carbon and the ring carbon ortho to the carbonyl being connected to adjacent positions on R;

t is 1 - 5;

provided that when substituent moiety T is alkyl of 1-4 carbons; alkoxy of 1-4 carbons; aryl of 6-10 carbons; CO_2R^5 ; alkenyl of 2-4 carbons; alkynyl of 2-4 carbons; $C(O)C_6H_5$; $C(O)N(R^6)(R^7)$; $S(O)_yR^8$; $O-C(R^9)_{2-O}$, or $C(O)C_6H_4$, then T optionally may bear secondary substituents selected from the group consisting of alkyl of 1-4 carbons; alkoxy of 1-4 carbons; CO_2R^5 ; CO_2H ; $C(O)N(R^6)(R^7)$; CHO; OH; NO_2 ; CN; halogen; $S(O)yR^8$; or =O, the number of said secondary substituents being 1 or 2 with the exception of halogen, which may be employed up to the perhalo level;

G is a substituent selected from the group consisting of halogen;

OH;

OR5;

=O, representing two substituents G;

alkyl of 1 - 4 carbons;

alkenyl of 1 - 4 carbons;

cycloalkyl of 3 - 7 carbons;

heterocycloalkyl of 3 - 5 carbons and 1 - 3 heteroatoms selected from the group consisting of N, O, and S;

cycloalkenyl of 5 - 7 carbons;

heterocycloalkenyl of 4 - 6 carbons and 1 - 3 heteroatoms selected from the group consisting of N, O, and S;

CO₂R⁵;

 $C(0)N(R^6)(R^7);$

aryl of 6 - 10 carbons;

 $(j^{(k)},j^{(k)}_{j^{(k)}},\ldots,j^{(k)}_{j^{(k)}_{j^{(k)}}})^{-1}$

heteroaryl of 3 - 9 carbons and 1 - 3 heteroatoms selected from the group consisting of N, O, and S;

NO₂;

CN;

 $S(O)_vR^8$;

SO₃R⁸; and

 $SO_2N(R^6)(R^7);$

g is 0 - 4, with the exception of halogen, which may be employed up to the perhalo level;

provided that when substituent G is alkyl of 1 - 4 carbons, alkenyl of 1 - 4 carbons, cycloalkyl of 3 - 7 carbons, heterocycloalkyl of 3 - 5 carbons, cycloalkenyl of 5 - 7 carbons, or heterocycloalkenyl of 4 - 6 carbons, then G optionally may bear secondary substituents of halogen up to the perhalo level; and when substituent G is aryl or heteroaryl, then G optionally may bear secondary substituents independently selected from the group consisting of alkyl of 1 - 4 carbons and halogen, the number of said secondary substituents being up to 3 for alkyl moieties, and up to the perhalo level for halogen;

O is a substituent selected from the group consisting of

alkyl of 1 - 4 carbons;

haloalkyl of 1 - 4 carbons;

cycloalkyl of 3 - 8 carbons;

alkoxy of 1 - 8 carbons;

alkenyl of 2 - 5 carbons;

cycloalkenyl of 5 - 8 carbons;

aryl of 6 - 10 carbons;

```
h teroaryl of 3 - 9 carbons and containing 1 - 3 heteroatoms selected from the group consisting of N, O, and S;

CO<sub>2</sub>R<sup>5</sup>

O, representing two substituents Q;

OH;

halogen;

N(R<sup>6</sup>)(R<sup>7</sup>);
```

 $S(O)_yR^8$; SO_3R^8 ; and $SO_2N(R^6)(R^7)$;

q is 0 - 4

provided that when substituent Q is aryl or heteroaryl, then Q optionally may bear secondary substituents independently selected from the group consisting of alkyl of 1 - 4 carbons and halogen, the number of said secondary substituents being up to 3 for alkyl moieties and up to the perhalo level for halogen; and

with the further proviso that two of $(Q)_q R^1$, $(Q)_q R^2$, $(Q)_q R^3$, and $(Q)_q R^4$ may be joined, and taken together with the atom(s) to which they are attached, form a spiro or nonspiro nonaromatic ring of 3 - 8 members containing 0 - 2 heteroatoms selected from the group consisting of N, O, and S;

and pharmaceutically acceptable salts thereof.